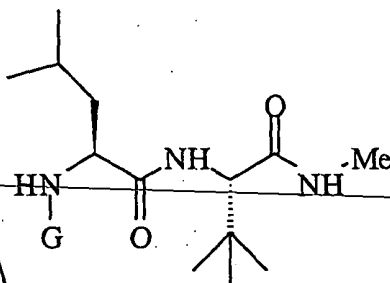


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Process for the preparation of a dipeptide of
formula 1



where G represents a protective group
with N-protected L-leucine being coupled to L-
tert.-leucine-N-methylamide in the presence of an
activating agent, characterized in that a formyl
group is used as protective group.

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2. Process according to claim 1 in which the L-
tert.-leucine-N-methylamide has an enantiomeric
excess greater than 98%

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3. Process according to claim 1 or 2 in which the N-
formyl-L-leucine has an enantiomeric excess
greater than 98%.

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4. Process according to any one of claims 1-3 in
which the N-formyl-L-leucyl-L-tert.-leucine-N-
methylamide obtained is subsequently subjected to
one or more crystallizations.

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5. Process according to any one of claims 1-4 in
which the dipeptide obtained is subsequently
deformylated.

6. Process according to claim 5 in which the L-
leucyl-L-tert.-leucine-N-methylamide obtained is

subsequently subjected to one or more crystallizations.

7. Process according to claim 5 or 6 in which the L-leucyl-L-tert.-leucine-N-methylamide is
- 5 subsequently coupled to a substituted or non-substituted α -mercaptocarboxylic acid to form the corresponding N- α -optionally substituted mercaptocarboxyl-L-leucyl-L-tert.-leucine-N-methylamide.
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- 10 8. N-formyl-L-leucyl-L-tert.-leucine-N-methylamide.
9. N-formyl-L-leucyl-L-tert.-leucine-N-methylamide with an enantiomeric excess of the N-terminal amino acid in the dipeptide of more than 80%.
10. N-formyl-L-leucyl-L-tert.-leucine-N-methylamide
- 15 with an enantiomeric excess of the N-terminal amino acid in the dipeptide of more than 98%.
11. N-formyl-L-leucyl-L-tert.-leucine-N-methylamide according to claim 9 or 10 with a diastereomeric excess of more than 80%.
- 20 12. N-formyl-L-leucyl-L-tert.-leucine-N-methylamide according to claim 11 with a diastereomeric excess of more than 98%.
13. Use of N-formyl-L-leucyl-L-tert.-leucine-N-methylamide according to any one of claims 8-12 in the
- 25 preparation of pharmaceuticals.